Ref #	Hits	Hits Search Query	DBs	Default Operator	Plurals	Default Plurals Time Stamp Operator
ī	0	0 ("514/183,19,601,613,631,649,,914")".CCLS"	USPAT	OR	OFF	2004/12/10 16:15
2	0	("514/183,19,601,613,631,649")".CCLS"	USPAT	S.	OFF.	2004/12/10 16:15
ៗ	0	0 ("514/183,19,601,613,631")".CCLS"	USPAT	OR	PFI	2004/12/10 16:15
4	0	0 ("514/183,19,601,613")".CCLS"	USPAT	OR	OFF	2004/12/10 16:15
5	0	("514/183,19,601")".CCLS"	USPAT	OR	OFF	2004/12/10 16:16
97	0	("514/183,19")", CCLS"	USPAT	OR	HO H	2004/12/10 16:16
7	2135	("514/183")".CCLS"	USPAT	OR	OFF	2004/12/10 16:16
F8	64	64 I7 and opiate	USPAT	OR	OFF	2004/12/10 16:16

S. My cole 17 # 10801 695

Time stamp	2004/02/16 08:21	2004/02/16 08:22	2004/02/16 08:22	2004/02/16 08:22		2004/02/16 08:24	2004/02/16 08:24		2004/02/16 08:25		-	
DB	USPAT	USPAT	USPAT	USPAT		USPAT	USPAT		USPAT			
ட	3 ("514/1,19,183,601,613,631,649").CCLS		3 (("514/1,19,183,601,613,631,649").CCLS) and (("540/553,604,609").CCLS)	_	and RF-amide neuropeptides	7 ((("514/1,19,183,601,613,631,649").ccLS) and (("540/553,604,609").ccLS)}	5 {(("514/1,19,183,601,613,631,649").ccLs) and {("540/553,604,609").ccLs}}	and RF-amide neuropeptides) and nicotine	} {((("514/1;19,183,601,613,631,649").CCLS} and (("540/553,604,609").CCLS))	and RF-amide neuropeptides) and nicotine) and	(((("S14/1,19,183,601,613,631,649").CCLS) and (("S40/553,604,609").CCLS))	and RF-amide neuropeptides) and opiate dependence)
Hits	5928	904	103	1665		100457	136	•	73			
I Number		2	m	4		9	- 2		80	-	•	

Sb9 12801 HW MULL 10821 695

Search History 2/16/04-8:25:34 AM

RIGHOR

C:\APPS\EAST\bin\\default.wsp

Der	Hits Search Text DB	33	Time stamp
	6092 ("514/183,1,19,601,613,631,649").CCLS	USPAT	2004/06/21 08:32
		USPAT	2004/06/21 08:32
		USPAT	2004/06/21 08:33
	and piperazinone	ISPAT	2004/06/21 08:33

25. Mr & # 10801 625

Search History 6/21/04 8:37:22 AM Page

10801685 Efd 3 704 potus0308820.18 Page 1

Tolon

Welcome to STN International! Enter x:x

LOGINID: ssspta1611sxp

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

Welcome to STN International

```
NEWS
                 Web Page URLs for STN Seminar Schedule - N. America
NEWS
                  "Ask CAS" for self-help around the clock
NEWS
         Jun 03
                 New e-mail delivery for search results now available
NEWS
         Aug 08
                 PHARMAMarketLetter(PHARMAML) - new on STN
         Aug 19
NEWS
                 Aquatic Toxicity Information Retrieval (AQUIRE)
                 now available on STN
news
      6
         Aug 26
                 Sequence searching in REGISTRY enhanced
NEWS
         Sep 03
      7
                 JAPIO has been reloaded and enhanced
NEWS
      8
         Sep 16
                 Experimental properties added to the REGISTRY file
NEWS
     9
         Sep 16
                 CA Section Thesaurus available in CAPLUS and CA
NEWS 10
         Oct 01
                 CASREACT Enriched with Reactions from 1907 to 1985
NEWS 11
         Oct 24
                 BEILSTEIN adds new search fields
NEWS 12
         Oct 24
                 Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 13
         Nov 18
                 DKILIT has been renamed APOLLIT
NEWS 14
         Nov 25
                 More calculated properties added to REGISTRY
NEWS 15
         Dec 04
                 CSA files on STN
NEWS 16
         Dec 17
                 PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 17
                 TOXCENTER enhanced with additional content
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NEWS 19
         Jan 29
                 Simultaneous left and right truncation added to COMPENDEX,
                 ENERGY, INSPEC
NEWS 20
         Feb 13
                 CANCERLIT is no longer being updated
NEWS 21
         Feb 24
                 METADEX enhancements
NEWS 22
         Feb 24
                 PCTGEN now available on STN
NEWS 23
         Feb 24
                 TEMA now available on STN
NEWS 24
         Feb 26
                 NTIS now allows simultaneous left and right truncation
NEWS 25
         Feb 26
                 PCTFULL now contains images
NEWS 26
         Mar 04
                 SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 27
         Mar 20
                 EVENTLINE will be removed from STN
NEWS 28
         Mar 24
                 PATDPAFULL now available on STN
NEWS 29
         Mar 24
                 Additional information for trade-named substances without
                 structures available in REGISTRY
NEWS 30 ·Apr 11
                 Display formats in DGENE enhanced
NEWS 31
         Apr 14
                 MEDLINE Reload
NEWS 32
         Apr 17
                 Polymer searching in REGISTRY enhanced
NEWS 33
         Jun 13
                 Indexing from 1947 to 1956 added to records in CA/CAPLUS
NEWS 34
         Apr 21
                 New current-awareness alert (SDI) frequency in
                 WPIDS/WPINDEX/WPIX
         Apr 28
NEWS 35
                 RDISCLOSURE now available on STN
NEWS 36
        'May 05
                 Pharmacokinetic information and systematic chemical names
                 added to PHAR
NEWS 37
         May 15
                 MEDLINE file segment of TOXCENTER reloaded
NEWS 38
         May 15
                 Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 39
         May 16
                 CHEMREACT will be removed from STN
NEWS 40
         May 19
                 Simultaneous left and right truncation added to WSCA
```

Patel

26/23/2003>

Page 2

NEWS	41	May		MAPRA enhanced with new search field, simultaneous left an	nd					
			ľ	right truncation .						
NEWS	42	Jun	06 5	Simultaneous left and right truncation added to CBNB						
NEWS	43	Jun	06 E	PASCAL enhanced with additional data						
NEWS	44	Jun	20 2	2003 edition of the FSTA Thesaurus is now available						
NEWS	EXP	RESS	Apri	1 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT						
		, .	MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),							
				CURRENT DISCOVER FILE IS DATED 01 APRIL 2003						
NEWS	HOU	RS	STN	Operating Hours Plus Help Desk Availability						
NEWS	S INTER General Internet Information									
NEWS	S LOGIN Welcome Banner and News Items									
NEWS	NS PHONE Direct Dial and Telecommunication Network Access to STN									
NEWS	WS WWW CAS World Wide Web Site (general information)									
				and the contract of the contra						

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 11:57:46 ON 23 JUN 2003

=> file reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 11:57:56 ON 23 JUN 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 22 JUN 2003 HIGHEST RN 535920-83-3 DICTIONARY FILE UPDATES: 22 JUN 2003 HIGHEST RN 535920-83-3

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

Uploading pctus0308820.21

pctus<u>0308930.18</u> Page 3

L1 STRUCTURE UPLOADED

=> d ll L1 HAS NO ANSWERS L1 STR

Structure attributes must be viewed using STN Express query preparation.

=> s 11 SAMPLE SEARCH INITIATED 11:58:22 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 13350 TO ITERATE

7.5% PROCESSED 1000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 260086 TO 273914
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s 11 sss full PULL SEARCH INITIATED 11:58:30 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 264388 TO ITERATE

100.0% PROCESSED 264388 ITERATIONS SEARCH TIME: 00.00.23

0 ANSWERS

0 ANSWERS

0 SEA SSS FUL L1

<6/23/2003>

Patel

10801695 (6)4 04 1010900.T Page 1

Welcome to STN International! Enter x:x

LOGINID: ssspta1611sxp

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

```
Welcome to STN International
                 Web Page URLs for STN Seminar Schedule - N. America
NEWS
NEWS
                 "Ask CAS" for self-help around the clock
                 CA/CAplus records now contain indexing from 1907 to the
         SEP 09
NEWS
NEWS
         DEC 08
                 INPADOC: Legal Status data reloaded
NEWS
         SEP 29
     5
                 DISSABS now available on STN
NEWS
         OCT 10
                 PCTFULL: Two new display fields added
     6
NEWS
         OCT 21
                 BIOSIS file reloaded and enhanced
NEWS
         OCT 28
                 BIOSIS file segment of TOXCENTER reloaded and enhanced
NEWS
         NOV 24
                 MSDS-CCOHS file reloaded
         DEC 08
NEWS 10
                 CABA reloaded with left truncation
         DEC 08
                 IMS file names changed
NEWS 11
NEWS 12
         DEC 09
                 Experimental property data collected by CAS now available
                 in REGISTRY
NEWS 13
         DEC 09
                 STN Entry Date available for display in REGISTRY and CA/CAplus
NEWS 14
         DEC 17
                 DGENE: Two new display fields added
NEWS 15
         DEC 18
                 BIOTECHNO no longer updated
NEWS 16
         DEC 19
                 CROPU no longer updated; subscriber discount no longer
                 available
NEWS 17
         DEC 22
                 Additional INPI reactions and pre-1907 documents added to CAS
                 databases
         DEC 22
NEWS 18
                 IFIPAT/IFIUDB/IFICDB reloaded with new data and search fields
NEWS 19
         DEC 22
                 ABI-INFORM now available on STN
NEWS 20
         JAN 27
                 Source of Registration (SR) information in REGISTRY updated
                 and searchable
NEWS 21
         JAN 27
                 A new search aid, the Company Name Thesaurus, available in
                 CA/CAplus
NEWS 22
         FEB 05
                 German (DE) application and patent publication number format
                 changes
NEWS EXPRESS
              DECEMBER 28 CURRENT WINDOWS VERSION IS V7.00, CURRENT
              MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP)
              AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
              STN Operating Hours Plus Help Desk Availability
NEWS HOURS
NEWS INTER
              General Internet Information
NEWS LOGIN
              Welcome Banner and News Items
NEWS PHONE
              Direct Dial and Telecommunication Network Access to STN
NEWS WWW
              CAS World Wide Web Site (general information)
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.1010900.1

Page 2

of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 15:02:58 ON 14 FEB 2004

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY 0.21

SESSION 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 15:03:07 ON 14 FEB 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 American Chemical Society (ACS)

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STRUCTURE FILE UPDATES: 12 FEB 2004 HIGHEST RN 649712-31-2 DICTIONARY FILE UPDATES: 12 FEB 2004 HIGHEST RN 649712-31-2

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

Uploading c:\program files\stnexp\queries\1010900.1

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1

STR

Structure attributes must be viewed using STN Express query preparation.

=> s ll sss full

FULL SEARCH INITIATED 15:03:33 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 35 TO ITERATE

100.0% PROCESSED

35 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L2

0 SEA SSS FUL L1

=> file marpat

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY 155.42

SESSION 155.63

FULL ESTIMATED COST

FILE 'MARPAT' ENTERED AT 15:03:41 ON 14 FEB 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 American Chemical Society (ACS)

FILE CONTENT: 1988-PRESENT (VOL 140 ISS 06) (20040206 ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6673954 06 JAN 2004

DE 10317295 08 JAN 2004

EP 1380632 14 JAN 2004

JP 2004014584 15 JAN 2004

WO 2004004674 15 JAN 2004

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s ll sss full

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Page 4

FULL SEARCH INITIATED 15:03:48 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED - 3280 TO ITERATE

100.0% PROCESSED 3280 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.13

L3 0

0 SEA SSS FUL L1

=> file caold
COST IN U.S. DOLLARS

SINCE FILE

TOTAL SESSION

FULL ESTIMATED COST

ENTRY 109.42

265.05

FILE 'CAOLD' ENTERED AT 15:04:08 ON 14 FEB 2004

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> s 11 sss full

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 15:04:14 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 35 TO ITERATE

100.0% PROCESSED SEARCH TIME: 00.00.01

35 ITERATIONS

0 ANSWERS

L4

O SEA SSS FUL L1

L5

0 L4

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

FULL ESTIMATED COST

ENTRY SESSION

0.42

421.31

DCLUS 0308820.18 Page 1

Welcome to STN International! Enter x:x

LOGINID:ssspta1611sxp

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

```
Welcome to STN International
NEWS 1
                 Web Page URLs for STN Seminar Schedule - N. America
NEWS
                 "Ask CAS" for self-help around the clock
                 New e-mail delivery for search results now available
NEWS
         Jun 03
NEWS
         Aug 08
                 PHARMAMarketLetter(PHARMAML) - new on STN
NEWS
      5 -
         Aug 19
                 Aquatic Toxicity Information Retrieval (AQUIRE)
                 now available on STN .
NEWS 6
                 Sequence searching in REGISTRY enhanced
         Aug 26
         Sep 03
NEWS
      7
                 JAPIO has been reloaded and enhanced
NEWS 8
         Sep 16
                 Experimental properties added to the REGISTRY file
NEWS 9
         Sep 16
                 CA Section Thesaurus available in CAPLUS and CA
NEWS 10
         Oct 01
                 CASREACT Enriched with Reactions from 1907 to 1985
NEWS 11 Oct 24
                 BEILSTEIN adds new search fields
         Oct 24
                 Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 12
NEWS 13
        Nov 18
                 DKILIT has been renamed APOLLIT
NEWS 14
        Nov 25
                 More calculated properties added to REGISTRY
NEWS 15
         Dec 04
                 CSA files on STN
NEWS 16
         Dec 17
                 PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 17
         Dec 17
                 TOXCENTER enhanced with additional content
NEWS 18
         Dec 17
                 Adis Clinical Trials Insight now available on STN
NEWS 19
         Jan 29
                 Simultaneous left and right truncation added to COMPENDEX,
                 ENERGY, INSPEC
NEWS 20
         Feb 13
                 CANCERLIT is no longer being updated
NEWS 21
        Feb 24
                 METADEX enhancements
NEWS 22
         Feb 24
                 PCTGEN now available on STN
                 TEMA now available on STN
NEWS 23
         Feb 24
NEWS 24
         Feb 26
                 NTIS now allows simultaneous left and right truncation
NEWS 25
         Feb 26
                 PCTFULL now contains images
NEWS 26
        Mar 04
                 SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 27 Mar 20
                EVENTLINE will be removed from STN
NEWS 28 Mar 24
                 PATDPAFULL now available on STN
                Additional information for trade-named substances without
NEWS 29 Mar 24
                 structures available in REGISTRY
NEWS 30 Apr 11
                Display formats in DGENE enhanced
NEWS 31 Apr 14
                 MEDLINE Reload
NEWS 32 Apr 17
                 Polymer searching in REGISTRY enhanced
NEWS 33
        Jun 13
                 Indexing from 1947 to 1956 added to records in CA/CAPLUS
NEWS 34
        Apr 21
                 New current-awareness alert (SDI) frequency in
                 WPIDS/WPINDEX/WPIX
NEWS 35
        Apr 28
                 RDISCLOSURE now available on STN
NEWS 36
        May 05
                 Pharmacokinetic information and systematic chemical names
                 added to PHAR
NEWS 37
        May 15
                 MEDLINE file segment of TOXCENTER reloaded
                 Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 38
        May 15
        May 16
NEWS 39
                 CHEMREACT will be removed from STN
```

NEWS 40

May 19

Simultaneous left and right truncation added to WSCA

pctus0308820.18 Page 2

NEWS 41 May 19 RAPRA enhanced with new search field, simultaneous left and right truncation

NEWS 42 Jun 06 Simultaneous left and right truncation added to CBNB

NEWS 43 Jun 06 PASCAL enhanced with additional data

NEWS 44 Jun 20 2003 edition of the FSTA Thesaurus is now available

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
NEWS HOURS STN Operating Hours Plus Help Desk Availability

NEWS INTER General Internet Information

NEWS LOGIN Welcome Banner and News Items

NEWS PHONE Direct Dial and Telecommunication Network Access to STN

NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 12:08:32 ON 23 JUN 2003

=> file reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 12:08:36 ON 23 JUN 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

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STRUCTURE FILE UPDATES: 22 JUN 2003 HIGHEST RN 535920-83-3 DICTIONARY FILE UPDATES: 22 JUN 2003 HIGHEST RN 535920-83-3

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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Patel

pctus0308820.18 Page 3

STRUCTURE UPLOADED Ll

=> d 11

LI HAS NO ANSWERS

L1STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 12:09:06 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 55 TO ITERATE

100.0% PROCESSED 55 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

656 TO

PROJECTED ANSWERS:

0 TO 0

0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 12:09:17 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 849 TO ITERATE

100.0% PROCESSED

849 ITERATIONS

O ANSWERS

SEARCH TIME: 00.00.01

L3

0 SEA SSS FUL L1

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

FULL ESTIMATED COST

ENTRY 148.55 SESSION 148.76

STN INTERNATIONAL LOGOFF AT 12:09:32 ON 23 JUN 2003

pctus0308820.18 Page 1

Welcome to STN International! Enter x:x

LOGINID: ssspta1611sxp

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

```
Welcome to STN International
NEWS
                 Web Page URLs for STN Seminar Schedule - N. America
NEWS
                  "Ask CAS" for self-help around the clock
NEWS
         Jun 03
                 New e-mail delivery for search results now available
         Aug 08
NEWS
                 PHARMAMarketLetter(PHARMAML) - new on STN
                 Aquatic Toxicity Information Retrieval (AQUIRE)
         Aug 19
                 now available on STN
NEWS
         Aug 26
                 Sequence searching in REGISTRY enhanced
NEWS
      7
         Sep 03
                 JAPIO has been reloaded and enhanced
NEWS
      8
         Sep 16. Experimental properties added to the REGISTRY file
                 CA Section Thesaurus available in CAPLUS and CA
NEWS
         Sep 16
NEWS 10
                 CASREACT Enriched with Reactions from 1907 to 1985
         Oct 01
NEWS 11
         Oct 24
                 BEILSTEIN adds new search fields
                 Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 12
         Oct 24
NEWS 13
         Nov 18
                 DKILIT has been renamed APOLLIT
NEWS 14
         Nov 25
                 More calculated properties added to REGISTRY
                 CSA files on STN
NEWS 15 Dec 04
                 PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 16 Dec 17
NEWS 17
         Dec 17
                 TOXCENTER enhanced with additional content
NEWS 18
         Dec 17
                 Adis Clinical Trials Insight now available on STN
NEWS 19
         Jan 29
                 Simultaneous left and right truncation added to COMPENDEX,
                 ENERGY, INSPEC
NEWS 20
         Feb 13
                 CANCERLIT is no longer being updated
NEWS 21
         Feb 24
                 METADEX enhancements
NEWS 22
         Feb 24
                 PCTGEN .now available on STN
NEWS 23
                 TEMA now available on STN
         Feb 24
NEWS 24
         Feb 26
                 NTIS now allows simultaneous left and right truncation
NEWS 25
         Feb 26 PCTFULL now contains images
NEWS 26
        Mar 04
                 SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 27
        Mar 20
                 EVENTLINE will be removed from STN.
NEWS 28 Mar 24
                 PATDPAFULL now available on STN
NEWS 29
        Mar 24
                 Additional information for trade-named substances without
                 structures available in REGISTRY
NEWS 30
        Apr 11
                 Display formats in DGENE enhanced
NEWS 31
        Apr 14
                 MEDLINE Reload
NEWS 32
         Apr 17
                 Polymer searching in REGISTRY enhanced
NEWS 33
                 Indexing from 1947 to 1956 added to records in CA/CAPLUS
         Jun 13
NEWS 34
        Apr 21
                 New current-awareness alert (SDI) frequency in
                 WPIDS/WPINDEX/WPIX
NEWS 35
        Apr 28
                 RDISCLOSURE now available on STN
NEWS 36
                 Pharmacokinetic information and systematic chemical names
        May 05
                 added to PHAR
NEWS 37
        May 15
                 MEDLINE file segment of TOXCENTER reloaded
NEWS 38
        May 15
                 Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 39
        May 16
                 CHEMREACT will be removed from STN
NEWS 40
        May 19
                Simultaneous left and right truncation added to WSCA
```

pctus0308820.18 Page 2

NEWS 41 May 19 RAPRA enhanced with new search field, simultaneous left and right truncation

NEWS 42 Jun 06 Simultaneous left and right truncation added to CBNB

NEWS 43 Jun 06 PASCAL enhanced with additional data

NEWS 44 Jun 20 2003 edition of the FSTA Thesaurus is now available

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003

NEWS HOURS STN Operating Hours Plus Help Desk Availability

NEWS INTER General Internet Information

NEWS LOGIN Welcome Banner and News Items

NEWS PHONE Direct.Dial and Telecommunication Network Access to STN

NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT:12:11:51 ON 23 JUN 2003

=> file reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 12:12:00 ON 23 JUN 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

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STRUCTURE FILE UPDATES: 22 JUN 2003 HIGHEST RN 535920-83-3 DICTIONARY FILE UPDATES: 22 JUN 2003 HIGHEST RN 535920-83-3

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

Uploading pctus0308820.25

Page 3

Ll

STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 12:12:29 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 61 TO ITERATE

100.0% PROCESSED 61 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE** 752 TO 1688

PROJECTED ITERATIONS: PROJECTED ANSWERS:

0 ТО .

. L3

0 SEA SSS SAM L1

=> s ll sss full

FULL SEARCH INITIATED 12:12:36 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 914 TO ITERATE

0 ANSWERS

100.0% PROCESSED 914 ITERATIONS SEARCH TIME: 00.00.01

0 SEA SSS FUL L1

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

148.15

148.36

STN INTERNATIONAL LOGOFF AT 12:12:40 ON 23 JUN 2003

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petus0308820.12
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Page 1

Welcome to STN International! Enter x:x

LOGINID: esspta1611sxp

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

W/080 pg/ 310/04

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Welcome to STN International
```

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NEWS
                 Web Page URLs for STN Seminar Schedule - N. America
NEWS
                  "Ask CAS" for self-help around the clock
NEWS
         Jun 03
                 New e-mail delivery for search results now available
NEWS
         Aug 08
                 PHARMAMarketLetter(PHARMAML) - new on STN
NEWS
         Aug 19
                 Aquatic Toxicity Information Retrieval (AQUIRE)
                 now available on STN
NEWS
      6
         Aug 26
                 Sequence searching in REGISTRY enhanced
NEWS
         Sep 03
                 JAPIO has been reloaded and enhanced
NEWS
         Sep 16
                 Experimental properties added to the REGISTRY file
NEWS
     9
         Sep 16
                 CA Section Thesaurus available in CAPLUS and CA
NEWS 10
         Oct 01
                 CASREACT Enriched with Reactions from 1907 to 1985
NEWS 11
         Oct 24
                 BEILSTEIN adds new search fields
NEWS 12
         Oct 24
                 Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 13
         Nov 18
                 DKILIT has been renamed APOLLIT
NEWS
     14
         Nov 25
                 More calculated properties added to REGISTRY
NEWS 15
         Dec 04
                 CSA files on STN
NEWS 16
         Dec 17
                 PCTFULL now covers WP/PCT Applications from 1978 to date
                 TOXCENTER enhanced with additional content.
NEWS 17
         Dec 17
                 Adis Clinical Trials Insight now available on STN
NEWS 18
         Dec 17
                 Simultaneous left and right truncation added to COMPENDEX,
NEWS 19
         Jan 29
                 ENERGY, INSPEC
NEWS 20
         Feb 13
                 CANCERLIT is no longer being updated
NEWS 21
         Feb 24
                 METADEX enhancements
                 PCTGEN now available on STN
NEWS 22
         Feb 24
NEWS 23
         Feb 24
                 TEMA now available on STN
NEWS 24
         Feb 26.
                 NTIS now allows simultaneous left and right truncation
NEWS 25
         Feb 26
                 PCTFULL now contains images
NEWS 26
         Mar 04
                 SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 27
         Mar 20
                 EVENTLINE will be removed from STN
                 PATDPAPULL now available on STN
NEWS 28
         Mar 24
NEWS 29
         Mar 24
                 Additional information for trade-named substances without
                 structures available in REGISTRY
NEWS 30
         Apr 11
                 Display formats in DGENE enhanced
NEWS 31
         Apr 14
                 MEDLINE Reload
NEWS 32
         Apr 17
                 Polymer searching in REGISTRY enhanced
NEWS 33
         Jun 13
                 Indexing from 1947 to 1956 added to records in CA/CAPLUS
NEWS 34
         Apr 21
                 New current-awareness alert (SDI) frequency in
                 WPIDS/WPINDEX/WPIX
NEWS 35
         Apr 28
                 RDISCLOSURE now available on STN
NEWS 36
         May 05
                 Pharmacokinetic information and systematic chemical names
                 added to PHAR
NEWS 37
         May 15
                 MEDLINE file segment of TOXCENTER reloaded
         May 15
NEWS 38
                 Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 39
         May 16
                 CHEMREACT will be removed from STN
NEWS 40
        May 19
                 Simultaneous left and right truncation added to WSCA
```

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pctus0308820.12
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Page 2

NEWS 41 May 19 RAPRA enhanced with new search field, simultaneous left and right truncation

NEWS 42 Jun 06 Simultaneous left and right truncation added to CBNB

NEWS 43 Jun 06 PASCAL enhanced with additional data

NEWS 44 Jun 20 2003 edition of the FSTA Thesaurus is now available

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003

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FILE 'HOME' ENTERED AT 08:12:22 ON 23 JUN 2003

=> file reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 22 JUN 2003 HIGHEST RN 535920-83-3 DICTIONARY FILE UPDATES: 22 JUN 2003 HIGHEST RN 535920-83-3

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

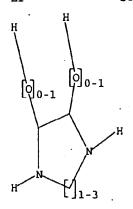
Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

Uploading pctus0308820.12

Patel

L1 STRUCTURE UPLOADED

=> d 11 L1 HAS NO ANSWERS . L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 08:12:55 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 112750 TO ITERATE

0.9% PROCESSED 1000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

19 ANSWERS

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**

BATCH **INCOMPLETE**

PROJECTED ITERATIONS: EXCEEDS 1000000
PROJECTED ANSWERS: EXCEEDS 40070

L2 . 19 SEA SSS SAM L1

=> s 11 sss full FULL SEARCH INITIATED 08:13:03 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - >1,000,000 TO ITERATE

< 17.8% PROCESSED 400000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.07</pre>

4977 ANSWERS

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**

BATCH **INCOMPLETE**
PROJECTED ITERATIONS: EXCEEDS 1000000

PROJECTED ITERATIONS: EXCEEDS 1000000
PROJECTED ANSWERS: EXCEEDS 27515

L3 4977 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL

Patel <6/23/2003>

FULL ESTIMATED COST

ENTRY SESSION 148.15 148.36

FILE 'CAPLUS' ENTERED AT 08:13:28 ON 23 JUN 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 23 Jun 2003 VOL 138 ISS 26 FILE LAST UPDATED: 22 Jun 2003 (20030622/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4 1004 L3

=> s 14 and NPFF

L5 0 L4 AND NPFF

=> s 14 and drug addiction

L6 0 L4 AND DRUG ADDICTION

=> s 14 and anti-opiate

L7 0 L4 AND ANTI-OPIATE

=> s 14 and nicotine addiction

L8 0 L4 AND NICOTINE ADDICTION

=> s 14 and obesity

L9 16 L4 AND OBESITY

=> s 14 and opiate

L10 · 0 L4 AND OPIATE

=> s 14 and abstinnence syndrome

L11 , 0 L4 AND ABSTINNENCE SYNDROME

≈> s l4 and Rf-amide

L12 . 0 L4 AND RF-AMIDE

=> s 14 and RF-amide neuropeptide

L13 0 L4 AND RF-AMIDE NEUROPEPTIDE

=> s 14 and neuropeptide

L14 2 L4 AND NEUROPEPTIDE

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pctus0308820.12
```

Page 5

```
=> s 14 and CNS
             6 L4 AND CNS
 L15
=> d his
      (FILE 'HOME' ENTERED AT 08:12:22 ON 23 JUN 2003)
     FILE 'REGISTRY' ENTERED AT 08:12:35 ON 23 JUN 2003
L1
                STRUCTURE UPLOADED
L2
             19 S L1
 L3
           4977 S L1 SSS FULL
     FILE 'CAPLUS' ENTERED AT 08:13:28 ON 23 JUN 2003
1.4
           1004 S L3
L5
              0 S L4 AND NPFF
L6
              0 S L4 AND DRUG ADDICTION
L7.
             0 S L4 AND ANTI-OPIATE
L8 -
              0 S L4 AND NICOTINE ADDICTION
1.9
             16 S L4 AND OBESITY
L10
              0 S L4 AND OPIATE
              0 S L4 AND ABSTINNENCE SYNDROME
Lll
L12
              0 S L4 AND RF-AMIDE
L13
              0 S L4 AND RF-AMIDE NEUROPEPTIDE
L14
              2 S L4 AND NEUROPEPTIDE
1.15
              6 S L4 AND CNS
=> d 19 fbibhitstr abs total
'FBIBHITSTR' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'
The following are valid formats:
ABS ----- GI and AB
ALL ----- BIB, AB, IND, RE
APPS ----- AI, PRAI
BIB ----- AN, plus Bibliographic Data and PI table (default)
CAN ----- List of CA abstract numbers without answer numbers
CBIB ----- AN, plus Compressed Bibliographic Data
DALL ----- ALL, delimited (end of each field identified)
DMAX ----- MAX, delimited for post-processing
FAM ----- AN; PI and PRAI in table, plus Patent Family data
FBIB ----- AN, BIB, plus Patent FAM
IND ----- Indexing data
IPC ----- International Patent Classifications
MAX ----- ALL, plus Patent FAM, RE
PATS ----- PI, SO
SAM ----- CC, SX, TI, ST, IT
SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;
              SCAN must be entered on the same line as the DISPLAY,
              e.g., D SCAN or DISPLAY SCAN)
STD -----BIB, IPC, and NCL
IABS ----- ABS, indented with text labels
IALL ----- ALL, indented with text labels
IBIB ----- BIB, indented with text labels IMAX ----- MAX, indented with text labels.
ISTD ----- STD, indented with text labels
```

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pctus0308820.12
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Page 6

```
OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OBIB, indented with text labels
SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations
HIT ----- Fields containing hit terms
HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)
             containing hit terms
HITRN ----- HIT RN and its text modification
HITSTR ----- HIT RN, its text modification, its CA index name, and
             its structure diagram
HITSEQ ----- HIT RN, its text modification, its CA index name, its
             structure diagram, plus NTE and SEQ fields
FHITSTR ---- First HIT RN, its text modification, its CA index name, and
             its structure diagram
FHITSEQ ---- First HIT RN, its text modification, its CA index name, its
             structure diagram, plus NTE and SEQ fields
KWIC ----- Hit term plus 20 words on either side
OCC ----- Number of occurrence of hit term and field in which it occurs
```

To display a particular field or fields, enter the display field codes. For a list of the display field codes, enter HELP DFIELDS at an arrow prompt (=>). Examples of formats include: TI; TI, AU; BIB, ST; TI, IND; TI, SO. You may specify the format fields in any order and the information will be displayed in the same order as the format specification.

All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR, PHITSTR, HITSEQ, PHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC to view a specified Accession Number. ENTER DISPLAY FORMAT (BIB) : end

=> d l9 fbib hitstr abs total

```
L9 -
     ANSWER 1 OF 16 CAPLUS COPYRIGHT 2003 ACS
```

2003:242332 CAPLUS AN

DN 138:255009

ΤI Preparation of purine derivatives as inhibitors of the enzyme DPP-IV

Kanstrup, Anders B.; Sams, Christian Klarner; Lundbeck, Jane Marie; IN Christiansen, Lise Brown; Bowler, Andrew Neil

PA Novo Nordisk A/S, Den.

PCT Int. Appl., 63 pp. SO CODEN: PIXXD2

DT Patent

LA English

FAN.	CNT	1																
	PA?	PENT	NO.		KI	ND	DATE			A	PPLI	CATI	ON N	0. 1	DATE			
										-								
ΡI	MO	2003	0249	65	A:	2	2003	0327		W	20	02-D	K608	;	2002	0919		
•		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	ΒA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	ĒC,	EE,	ES,	FI,	GB,	GD,	GE,	GH.
			GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KZ,	LC,	LK.	LR.
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ.	OM,	PH.
	•		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ.
			UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,	KG.	KZ,	MD.
			ŖU,	TJ,	TM													
		RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	BG,

AB The title compds. [I; X = NR1R2, NR3COR4, NR5COR4, NR5CH2CH2NR6R7, NR8SO2R9, OR10, O2CR11; wherein R1, R2 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, or they are linked to each other to form a heterocyclyl contg. 1 or 2 N atoms or O which may be a spiro ring and is optionally fused to an (un) substituted arom. ring; R3, R4 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, arylalkenyl, heteroaryl, heteroarylalkyl; R5, R6, R7 = H. alkyl, acyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heteroarylalkyl, or which is optionally fused to an (un)substituted arom. ring, R8, R9, R10, R11 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heteroarylalkyl) or pharmacol. acceptable salts thereof are prepd. These compds. are useful for the treatment of DPP-IV related diseases such as diabetes, obesity, HIV infection, cancer metastasis, skin diseases, prostatic hypertrophy (prostatomegaly), pericementitis, or autoimmune diseases. Thus, a soln. of 0.924 g (S) -1-[(2S,4S)-4-amino-1-tert-butoxycarbonyl-2-pyrrolidinylcarbonyl]-2cyanopyrrolidine (prepn. given), 1.7 mL diisopropylethylamine, and 0.78 q 2-chloro-4-fluorobenzonitrile in 10 mL N-methyl-2-pyrrolidone were stirred at 80.degree. for 4 h to give 0.94 g (S)-1-[(2S,4S)-1-tert-butoxycarbonyl-4-(3-chloro-4-cyanophenyl)amino-2-pyrrolidinylcarbonyl]-2-cyanopyrrolidine which (0.93 g) was treated with HCl/EtOAc at room temp. for 15 h to give (S)-1-[(2S,4S)-4-(3-chloro-4-cyanophenyl)amino-2-pyrrolidinylcarbonyl]-2cyanopyrrolidine hydrochloride (II). II showed IC50 of 0.13 and 0.15 nm against human blood plasma DPP-IV and rat blood plasma DPP-IV, resp.

RE CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L5
     ANSWER 25 OF 33 CAPLUS COPYRIGHT 2003 ACS
AN
     2002:72089 CAPLUS
DN
     136:134678
     Preparation of [(2-hydroxyethylamino)cyclylamino]arylsulfonamides as
     .beta.3 adrenergic receptor agonists
IN
     Sum, Fuk-Wah; Malamas, Michael Sotirios
PA
     American Home Products Corporation, USA
SO
     PCT Int. Appl., 104 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                       KIND DATE
                                              APPLICATION NO.
                                                                 DATE
                              -----
                                              ------
PΙ
     WO 2002006274
                        A1
                              20020124
                                              WO 2001-US22379
                                                                20010716
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ,
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Page 117

VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, \mathcal{C}^{Y} DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG US 2000-218589PP 20000717 US 2002028797 20020307 US 2001-904114 A1 20010712 US 6444685 B2 20020903 US 2000-218589PP 20000717 US 2003027795 **A**1 20030206 US 2002-205019 20020725 US 2000-218589PP 20000717 US 2001-904114 A320010712

OS MARPAT 136:134678

IT 391935-66-3P 391935-67-4P 391935-68-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of [(hydroxyethylamino)piperidinyl)benzenesulfona mides as .beta.3 adrenergic receptor agonists for treatment of metabolic disorders related to insulin resistance or hyperglycemia)

RN 391935-66-3 CAPLUS

CN 4-Imidazolidinone, 1-[(4-fluorophenyl)sulfonyl]-2-thioxo- (9CI) (CA INDEX NAME)

CN

RN 391935-67-4 CAPLUS

2,4-Imidazolidinedione, 1-[[4-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 391935-68-5 CAPLUS

2,4-Imidazolidinedione, 1-[[4-(4-oxo-1-piperidinyl)phenyl]sulfonyl]- (9CI)
(CA INDEX NAME)

Page 118

IT 391934-53-5P 391934-54-6P 391934-55-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(.beta.3 agonist; prepn. of [(hydroxyethylamino)piperidinyl]benzenesulf onamides as .beta.3 adrenergic receptor agonists for treatment of metabolic disorders related to insulin resistance or hyperglycemia)

RN 391934-53-5 CAPLUS

N 2,4-Imidazolidinedione, 1-[[4-[4-[[(2S)-3-[(2,3-dihydro-2-oxo-1H-benzimidazol-4-yl)oxy]-2-hydroxypropyl]amino]-1-piperidinyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 391934-54-6 CAPLUS

CN Methanesulfonamide, N-[5-[(1R)-2-[[1-[4-[(2,4-dioxo-1-imidazolidinyl)sulfonyl]phenyl]-4-piperidinyl]amino]-1-hydroxyethyl]-2-

Page 119

hydroxyphenyl] ~ (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 391934-55-7 CAPLUS

CN 2,4-Imidazolidinedione, 1-[[4-[4-[((2S)-2-hydroxy-2-[2-(trifluoromethyl)-4-thiazolyl]ethyl]amino]-1-piperidinyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

GI

HN

Title compds. I (wherein W = (CH2)m; X = (CH2)n; m = 1-3; N = 1-3; OCH2, SCH2, or a bond; R1 = Ph, heterocyclyl, or heteroaryl substituted with R5 or R6; R2 = H, CF3, alkyl, alkenyl, or alkynyl; R4 = (cyclo)alkyl, alkenyl, alkynyl, OH, alkoxy, (hetero)aryloxy, (hetero)arylamino, alkoxycarbonylalkyl, carboxyalkyl, aminosulfonylalkyl, alkylsulfonylalkyl, or (un) substituted Ph, heterocyclyl, heteroaryl, acylamino, amino, etc.; R3, R5, and R6 = independently H, CF3, (cyclo)alkyl, alkenyl, alkynyl, aryl, heterocyclyl, heteroaryl, arylalkyl, halo, CN, NO2, OH, alkoxy, (hetero)aryloxy, alkylthio, arylthio, (hetero)arylamino, alkylcarbonylalkyl, aminosulfonylalkyl, arylsulfonylalkyl, etc.; or a pharmaceutically acceptable salt thereof] were prepd. as .beta.3 adrenergic receptor agonists. For example, sodium triacetoxyborohydride was added to a soln. of 4-[(2S)-3-amino-2-hydroxypropoxy]-1,3dihydrobenzimidazol-2-one, N-benzyl-N-(3,4-dimethoxyphenyl)-4-(4oxopiperidin-1-yl)sulfonamide, and AcOH in anhyd. DMF. The reaction was stirred overnight and then quenched with 50% H2O/satd. NaHCO3 to give II. The latter exhibited selective binding to the .beta.3 adrenergic receptor (EC50 0.017 .mu.M) compared to the .beta.1 and .beta.2 adrenergic receptors. Thus, I are useful in treating metabolic disorders related to insulin resistance or hyperglycemia (typically assocd. with obesity or glucose intolerance), atherosclerosis, gastrointestinal disorders, neurogenetic inflammation, glaucoma, ocular hypertension, and frequent urination, and are particularly useful in the treatment of type II diabetes.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 26 OF 33 CAPLUS COPYRIGHT 2003 ACS

AN 2001:713326 CAPLUS

DN 135:272990

Preparation of piperazinylcarbonylaminomethylcarbonylpiperidines as TT melanocortin-4 receptor agonists

IN Palucki, Brenda L.; Barakat, Khaled J.; Guo, Liangqin; Lai, Yingjie; Nargund, Ravi P.; Park, Min K.; Pollard, Patrick G.; Sebhat, Iyassu K.; Ye, Zhixiong

PA

Merck + Co., Inc., USA PCT Int. Appl., 220 pp. SO CODEN: PIXXD2

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     363190-03-8P 363190-71-0P 363190-72-1P
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        (prepn. of piperazinylcarbonylaminomethylcarbonylpiperidines as
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RN 363187-63-7 CAPLUS

CN 2-Piperazinecarboxamide, N-[(1R)-2-[4-cyclohexyl-4-(1-piperazinylcarbonyl)-1-piperidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-1-methyl-, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 363187-91-1 CAPLUS

CN 2-Piperazinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-cyclohexyl-4-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperidinyl]-2-oxoethyl]-4-(cyclopropylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

RN 363187-92-2 CAPLUS

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Absolute stereochemistry.

RN 363187-98-8 CAPLUS

CN 2-Piperazinecarboxamide, N-[(1R)-2-[4-cyclohexyl-4-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-4-(cyclopropylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

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RN 363189-54-2 CAPLUS

CN 2-Piperazinecarboxamide, N-[(1R)-2-[4-cyclohexyl-4-(1-piperazinylcarbonyl)-1-piperidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

'Absolute stereochemistry.

●2 HCl

RN 363190-03-8 CAPLUS

CN 2-Piperazinecarboxamide, N-[(1R)-2-[4-cyclohexyl-4-(1-piperazinylcarbonyl)-1-piperidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-1-methyl-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

2 HCl

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●2 HC1

RN 363190-71-0 CAPLUS

CN 2-Piperazinecarboxamide, N-{(1R)-1-[(4-chlorophenyl)methyl]-2-[4-cyclohexyl-4-[{(1,1-dimethylethyl)amino]carbonyl]-1-piperidinyl]-2-oxoethyl]-4-(cyclopropylmethyl)-, dihydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●2 HCl

RN 363190-72-1 CAPLUS

CN 2-Piperazinecarboxamide, N-[(1R)-1-((4-chlorophenyl)methyl]-2-[4-cyclohexyl-4-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperidinyl]-2-oxoethyl]-4-(phenylmethyl)-, dihydrochloride, (2R)- (9CI) (CA INDEX NAME)

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●2 HC1

RN 363190-78-7 CAPLUS

CN 2-Piperazinecarboxamide, N-[(1R)-2-[4-cyclohexyl-4-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-4-(cyclopropylmethyl)-, dihydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●2 HCl

GI

$$\begin{array}{c|c} X & & & \\ & & & \\ Y & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

Patel

Absolute stereochemistry.

Prenyltransferase inhibitors are used for prepg. a medicine for treating AΒ pathologies resulting from prenylation of the .gamma. subunit of G protein. Said diseases comprise in particular diseases related to the following biol. functions or disorders: smell, taste, light perception, neurotransmission, neurodegeneration, endocrine and exocrine gland functioning, autocrine and paracrine regulation, blood pressure, embryogenesis, viral infection, immunol. functions, diabetes, and obesity.

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 12 ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 33 OF 33 CAPLUS COPYRIGHT 2003 ACS
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AN 1999:595178 CAPLUS

DN 131:243258

ΤI Preparation of thieno[2,3-c]pyrans and thieno[2,3-c]pyridines as modulators of protein tyrosine phosphatases (PTPases)

Moller, Niels Peter Hundahl; Andersen, Henrik Sune; Iversen, Lars Fogh; Olsen, Ole Hvilsted; Branner, Sven; Holsworth, Daniel Dale; Bakir, Farid; Judge, Luke Milburn; Axe, Frank Urban; Jones, Todd Kevin; Ripka, Wiliam Charles; Ge, Yu; Uyeda, Roy Teruyuki

PΑ Novo Nordisk A/S, Den.; Ontogen Corporation

PCT Int. Appl., 157 pp. CODEN: PIXXD2

DΤ Patent

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DK 1998-1561

A 19981126

OS MARPAT 131:243258

IT 243968-00-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of thieno[2,3-c] pyrans and thieno[2,3-c] pyridines as modulators of protein tyrosine phosphatases (PTPases))

RN 243968-00-5 CAPLUS

CN 1H-Indole-5-carboxylic acid, 6-[(ethoxyoxoacetyl)amino]-3-(1-piperazinylmethyl)-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 243967-99-9 CMF C20 H26 N4 O5

GI

$$A \xrightarrow{R^1} R^4$$

S NH CO2H

AB Thieno[2,3-c]pyrans and thieno[2,3-c]pyridines (I) [A = atoms to complete various 5/5 and 5/6 bicyclic heterocycles, e.g., thienopridines,

11

Certain novel 4-substituted N-acylated piperidine derivs., specifically I, are agonists of the human melanocortin receptor(s) and, in particular, are selective agonists of the human melanocortin-4 receptor (MC-4R) [wherein: p = 1 or 2; q = 0, 1, or 2; n = 0, 1, or 2; R1 = H, amidino, alkyliminoyl, (un) substituted alkyl, (CH2) n-G1 [G1 = (un) substituted cycloalkyl, Ph, naphthyl, or heteroaryl]; R2 = (un)substituted Ph, naphthyl, or heteroaryl; X = alkyl, (CH2)n-G2 [G2 = (un)substituted cycloalkyl, Ph, naphthyl, heteroaryl, heterocyclyl, cyano, CONH2, CO2H, OH, NH2, and various derivs.]; Y = (un) substituted alkyl, alkenyl, (CH2)n-G3 (G3 = (un) substituted cycloalkyl, Ph, naphthyl, heteroaryl, or heterocyclyl]; including pharmaceutically acceptable salts]. They are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, such as obesity, diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction. Approx. 200 invention compds. I and approx. 80 intermediates were prepd. For instance, amidation of (.+-.)-trans-1-(tert-butoxycarbonyl)-3-(4fluorophenyl)piperidine-4-carboxylic acid with 4-cyclohexyl-4-[(4,4dimethyl-2-oxo-1,3-oxazolidin-3-yl)methyl]piperidine HCl, followed by N-deprotection with removal of BOC using HCl, and reductive N-methylation using paraformaldehyde and NaBH3CN, gave title compd. (.+-.)-trans-II, isolated as the trifluoroacetate salt. Representative compds. I bound to cloned human MC-4R in vitro with IC50 values generally below 2 .mu.M, and also acted as agonists toward cloned human MCR in a functional assay with EC50 values less than 1 .mu.M.

ΙI

L6 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS

AN 2001:833094 CAPLUS

DN 135:371639

TI Preparation of alkylamine derivatives of dihydropyridine as NPY antagonists

Patel

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      Poindexter, Graham S.; Bruce, Marc; Sit, Sing-Yuen; Martin, Scott W.
PΑ
      Bristol-Myers Squibb Company, USA
      PCT Int. Appl., 49 pp.
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         (prepn. of alkylamine derivs. of dihydropyridine as NPY antagonists)
RN
     373608-42-5 CAPLUS
     Piperazine, 1-(cyclopropylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)
CN
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2 HC1

GI

10861685 Eff 3704 pctus0308820.18 Page 1

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NEWS 34
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NEWS 37
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NEWS 38
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NEWS 41
        May 19 RAPRA enhanced with new search field, simultaneous left and
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right truncation

NEWS 42 Jun 06 Simultaneous left and right truncation added to CBNB

NEWS 43 Jun 06 PASCAL enhanced with additional data

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